

10/579 222

# INVENTOR SEARCH

=> file reg

FILE 'REGISTRY' ENTERED AT 17:53:14 ON 20 AUG 2007

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STRUCTURE FILE UPDATES: 19 AUG 2007 HIGHEST RN 944998-48-5

DICTIONARY FILE UPDATES: 19 AUG 2007 HIGHEST RN 944998-48-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

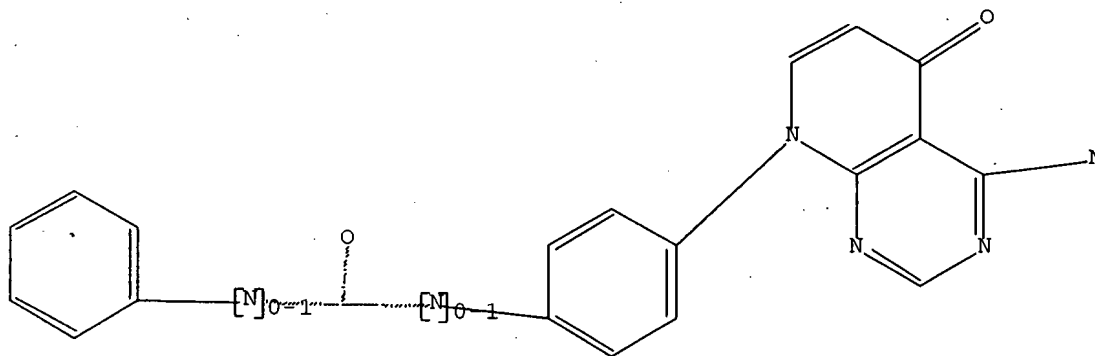
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> d stat. que 17

L5 STR



Structure attributes must be viewed using STN Express query preparation.

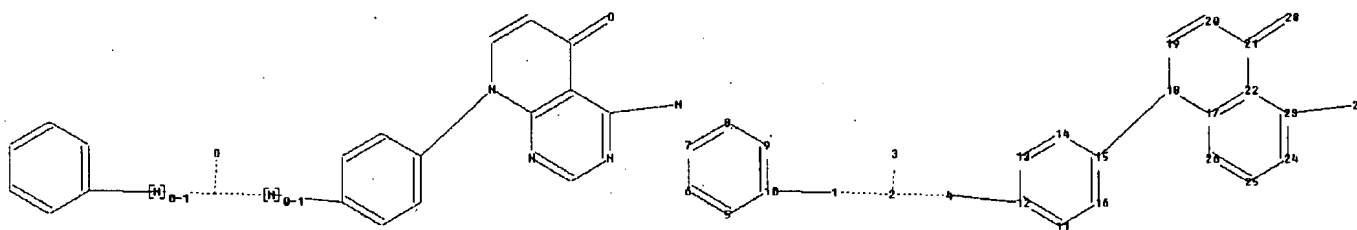
L7 66 SEA FILE=REGISTRY SSS FUL L5

100.0% PROCESSED 1965 ITERATIONS

66 ANSWERS

SEARCH TIME: 00.00.01

Uploading jai222.str



chain nodes :

1 2 3 4 27 28

ring nodes :

5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26

chain bonds :

1-2 1-10 2-3 2-4 4-12 15-18 21-28 23-27

ring bonds :

5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 17-18  
17-22 17-26 18-19 19-20 20-21 21-22 22-23 23-24 24-25 25-26

exact/norm bonds :

1-2 1-10 2-3 2-4 4-12 15-18 17-18 18-19 19-20 20-21 21-22 21-28 23-27

normalized bonds :

5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 17-22  
17-26 22-23 23-24 24-25 25-26

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom

=> file hcaplus

FILE 'HCAPLUS' ENTERED AT 17:54:37 ON 20 AUG 2007

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FILE COVERS 1907 - 20 Aug 2007 VOL 147 ISS 9

FILE LAST UPDATED: 19 Aug 2007 (20070819/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d que nos 131

L20 83 SEA FILE=HCAPLUS ABB=ON PLU=ON HOELZEMANN G?/AU  
L21 13 SEA FILE=HCAPLUS ABB=ON PLU=ON CRASSIER H?/AU  
L22 200 SEA FILE=HCAPLUS ABB=ON PLU=ON ACKERMANN K?/AU

L23 30 SEA FILE=HCAPLUS ABB=ON PLU=ON STAEHLE W?/AU  
 L24 285 SEA FILE=HCAPLUS ABB=ON PLU=ON JONCZYK A?/AU  
 L25 52 SEA FILE=HCAPLUS ABB=ON PLU=ON RAUTENBERG W?/AU  
 L26 21 SEA FILE=HCAPLUS ABB=ON PLU=ON MITJANS F?/AU  
 L27 12 SEA FILE=HCAPLUS ABB=ON PLU=ON ROSELL-VIVES E?/AU  
 L28 21 SEA FILE=HCAPLUS ABB=ON PLU=ON MITJANS F?/AU  
 L29 21 SEA FILE=HCAPLUS ABB=ON PLU=ON ADAN J?/AU  
 L30 110 SEA FILE=HCAPLUS ABB=ON PLU=ON SOLER R?/AU  
 L31 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L20 AND L21 AND L22 AND  
 L23 AND L24 AND L25 AND L26 AND L27 AND L28 AND L29 AND  
 L30

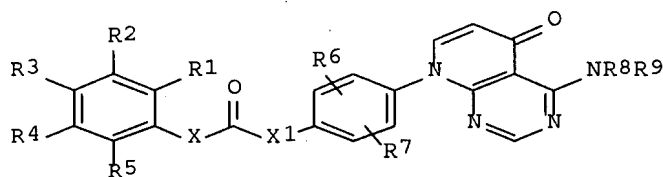
=> d ibib ed abs 131

L31 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:451380 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:482058  
 TITLE: Preparation of pyridopyrimidinones as inhibitors  
 of tyrosine and Raf kinases for treatment of  
 tumors.  
 INVENTOR(S): Hoelzemann, Guenter; Crassier,  
 Helene; Ackermann, Karl-August;  
 Staehle, Wolfgang; Jonczyk,  
 Alfred; Rautenberg, Wilfried;  
 Mitjans, Francesc; Rosell-Vives,  
 Elisabet; Adan, Jaume;  
 Soler, Riera Marta  
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany  
 SOURCE: PCT Int. Appl., 95 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047283	A1	20050526	WO 2004-EP11549	20041014
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10352979	A1	20050609	DE 2003-10352979	20031113
AU 2004288727	A1	20050526	AU 2004-288727	20041014

CA 2545558	A1	20050526	CA 2004-2545558	20041014
EP 1682548	A1	20060726	EP 2004-790407	20041014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007510679	T	20070426	JP 2006-538680	20041014
US 2007099910	A1	20070503	US 2007-579222	20070109
PRIORITY APPLN. INFO.:				20031113
DE 2003-10352979				A
WO 2004-EP11549				W
				20041014

OTHER SOURCE(S): MARPAT 142:482058  
ED Entered STN: 27 May 2005  
GI



I

AB Title compds. [I; R1-R5 = H, A, OH, OA, alkenyl, alkynyl, NO2, NH2, NHA, NA2, halo, cyano, CO2H, COA, CO2A, O-Het, etc.; pairs of R1-R5 = OCH2CH2, OCH2O, OCH2CH2O, OCF2O, OCA2O; R6, R7 = H, A halo, OA, cyano; R8, R9 = H, alkyl optionally interrupted by O, N; Het = mono- or bicyclic (unsatd.) (aromatic) heterocyclyl; A = (fluoro- and/or chloro-substituted) alkyl; X, X1 = NH, null], were prepared as inhibitors of tyrosine and Raf kinases (no data). Thus, 4-amino-8-(4-aminophenyl)-8H-pyrido[2,3-d]pyrimidin-5-one (preparation given) was stirred overnight with 2-fluoro-5-trifluoromethylphenyl isocyanate and Et3N in CH2Cl2 to give 1-[4-(4-amino-5-oxo-5H-pyrido[2,3-d]pyrimidin-8-yl)phenyl]-3-(2-fluoro-5-trifluoromethylphenyl)urea.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

# STRUCTURE SEARCH

=> file reg

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DICTIONARY FILE UPDATES: 19 AUG 2007 HIGHEST RN 944998-48-5

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

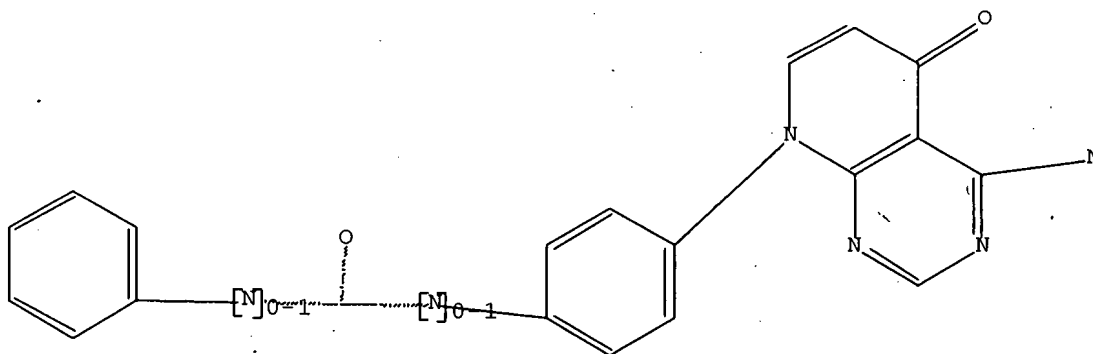
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=> d stat que l7

L5 STR



Structure attributes must be viewed using STN Express query preparation.

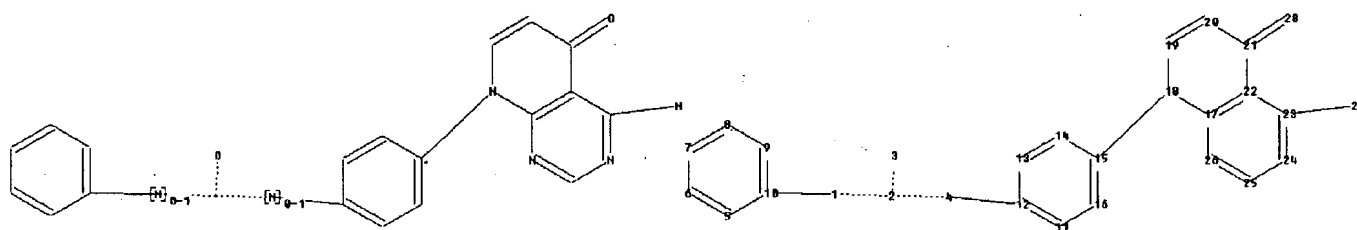
L7 66 SEA FILE=REGISTRY SSS FUL L5

100.0% PROCESSED 1965 ITERATIONS

66 ANSWERS

SEARCH TIME: 00.00.01

Uploading jai222.str



chain nodes :

1 2 3 4 27 28

ring nodes :

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ring bonds :

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17-22 17-26 18-19 19-20 20-21 21-22 22-23 23-24 24-25 25-26

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11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom

=> file hcaplus

FILE 'HCAPLUS' ENTERED AT 17:55:35 ON 20 AUG 2007

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FILE COVERS 1907 - 20 Aug 2007 VOL 147 ISS 9

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=> d que nos 18

L5 STR  
L7 66 SEA FILE=REGISTRY SSS FUL L5  
L8 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L7

=> file casreact

FILE 'CASREACT' ENTERED AT 17:55:48 ON 20 AUG 2007  
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FILE CONTENT:1840 - 18 Aug 2007 VOL 147 ISS 9

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```
*****  
*                                     *  
*   CASREACT now has more than 12 million reactions   *  
*                                     *  
*****
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Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que nos 19

L5 STR  
L7 66 SEA FILE=REGISTRY SSS FUL L5  
L9 0 SEA FILE=CASREACT ABB=ON PLU=ON L7

=> file marpat

FILE 'MARPAT' ENTERED AT 17:56:07 ON 20 AUG 2007  
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FILE CONTENT: 1961-PRESENT VOL 147 ISS 7 (20070817/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2007155779 05 JUL 2007  
DE 102005063244 28 JUN 2007  
EP 1801190 27 JUN 2007  
JP 2007173472 05 JUL 2007  
WO 2007076379 05 JUL 2007  
GB 2433499 27 JUN 2007  
FR 2895408 29 JUN 2007  
RU 2302407 10 JUL 2007

CA 2571093 16 JUN 2007

Expanded G-group definition display now available.

=> d que nos l18

L5 STR

L18 5 SEA FILE=MARPAT SSS FUL L5

=> file beilstein

FILE 'BEILSTEIN' ENTERED AT 17:57:06 ON 20 AUG 2007

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schaften

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FILE LAST UPDATED ON June 25, 2007

FILE COVERS 1771 TO 2007.

\*\*\* FILE CONTAINS 10,004,722 SUBSTANCES \*\*\*

>>>PLEASE NOTE: Reaction Data and substance data are stored in  
separate documents and can not be searched together in one query.  
Reaction data for BEILSTEIN compounds may be displayed  
immediately with the display codes PRE (preparations) and REA  
(reactions). A substance answer set retrieved after the search  
for a chemical name, a compounds with available reaction  
information by combining with PRE/FA, REA/FA or more generally  
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link  
between a BEILSTEIN compound and belonging reactions. For mo  
detailed reaction searches BRNs can be searched as reaction  
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

\*\*\*\*\*  
\* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. \*  
\* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE \*  
\* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE \*  
\* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. \*  
\* FOR PRICE INFORMATION SEE HELP COST \*  
\*\*\*\*\*

#### NEW

\* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE  
SEARCHED, SELECTED AND TRANSFERRED.  
\* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,  
ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A  
COMPOUND AT A GLANCE.

=> d stat que l12

L5 STR



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=> dup rem l16 l18  
PROCESSING COMPLETED FOR L16  
PROCESSING COMPLETED FOR L18  
L32 7 DUP REM L16 L18 (3 DUPLICATES REMOVED)  
ANSWERS '1-5' FROM FILE HCAPLUS  
ANSWERS '6-7' FROM FILE MARPAT

=> d que nos l32  
L5 STR  
L7 66 SEA FILE=REGISTRY SSS FUL L5  
L8 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L7  
L16 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 AND PY>2005  
L18 5 SEA FILE=MARPAT SSS FUL L5  
L32 7 DUP REM L16 L18 (3 DUPLICATES REMOVED)

=> s l32 not l31  
L33 6 L32 NOT L31

=> d ibib ed abs hitstr 1-4;d ibib abs qhit 5-6

L33 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2006:364321 HCAPLUS Full-text  
DOCUMENT NUMBER: 144:412515  
TITLE: Heterocyclic substituted bisarylurea derivatives  
as kinase inhibitors and their preparation,  
pharmaceutical compositions, and use for  
treatment of diseases mediated or propagated by  
kinases  
INVENTOR(S): Stieber, Frank; Jonczyk, Alfred; Hoelzemann,  
Guenter; Buchstaller, Hans-Peter; Burgdorf, Lars  
Thore; Rautenberg, Wilfried; Greiner, Hartmut  
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany  
SOURCE: PCT Int. Appl., 232 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040056	A1	20060420	WO 2005-EP10744	20051006

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,  
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,  
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,  
MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,

RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
 IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
 TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
 ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 AU 2005293839 A1 20060420 AU 2005-293839

200510  
 06

CA 2584185 A1 20060420 CA 2005-2584185

200510  
 06

EP 1799669 A1 20070627 EP 2005-789864

200510  
 06

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
 IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK,  
 TR  
 IN 2007KN01680 A 20070727 IN 2007-KN1680

200705  
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PRIORITY APPLN. INFO.:

EP 2004-24369

A  
 200410  
 13

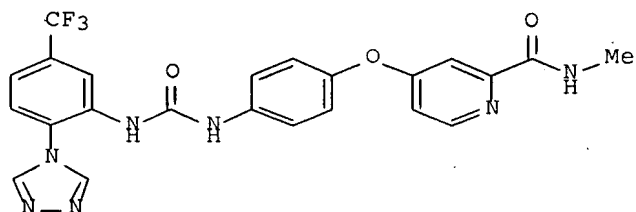
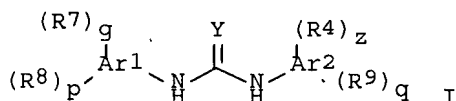
EP 2005-16845

A  
 200508  
 03

WO 2005-EP10744

W  
 200510  
 06

OTHER SOURCE(S): MARPAT 144:412515  
 ED Entered STN: 21 Apr 2006  
 GI



AB The invention relates to heterocyclic substituted bisaryllurea derivs. of formula I, the use of the compds. of formula I as inhibitors of one or more kinases, the use of the compds. of formula I for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient. Compds. of formula I wherein R4 is (X-Ar3) $\alpha$ -(R10)10; Ar1, Ar2, and Ar3 are independently 5- to 14-membered unsatd. or aromatic cyclic hydrocarbon, or 2- to 10-membered unsatd. or aromatic heterocyclic residue, preferably 1 to 5 heteroatoms selected from N, O, and S;  $\alpha$  is 0, 1, or 2; r, z, and p are independently 0, 1, 2, 3, 4 or 5; R7 is nitrogen containing heterocyclic moiety bound directly to Ar1 via a nitrogen atom, etc.; R8, R9, and R10 are independently H, (alkoxy)alkyl, alkenyl, C3-7 cycloalkyl, alkenylcycloalkyl, halo, CH2halo, CH(halo)2, C(halo)3, NO2, etc.; Y is O, S, NH and derivs., (un)substituted CHNO2, (un)substituted CHCN, or C(CN)2; g is 1, 2, or 3; q is 0, 1, 2, 3 or 4; and their pharmaceutically acceptable derivs., salts and solvates thereof are claimed in this invention. Example compound II was prepared by chlorination and esterification of pyridine-2-carboxylic acid to give Me 4-chloropyridine-2-carboxylate, which underwent amidation with methylamine to give 4-chloropyridine-2-carboxylic acid methylamide, which was reacted with 4-aminophenol; the resulting 4-(4-aminophenoxy)pyridine-2-carboxylic acid methylamine reacted with p-nitrophenyl chloroformate and 4-(2-amino-4-trifluoromethylphenyl)-1,2,4-triazole to give example compound II. All the invention compds. were evaluated for their activity as modulators and inhibitors of kinases. From the assay, it was determined that these compds. preferably inhibit VEGF-stimulated mitogenesis of human vascular endothelial cells in cultures with IC50 values of 0.01-5.0  $\mu$ M.

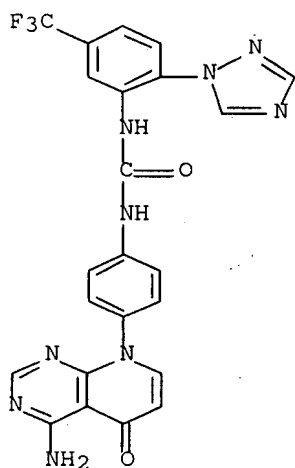
IT 883881-42-3P 883881-43-4P 883881-48-9P  
883881-55-8P 883881-57-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heterocyclic substituted bisaryllurea derivs. that are able to inhibit or modulate signaling of kinases useful for treatment of diseases mediated or propagated by kinases)

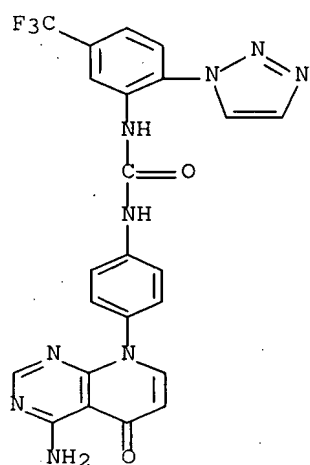
RN 883881-42-3 HCAPLUS

CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-(1H-1,2,4-triazol-1-yl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



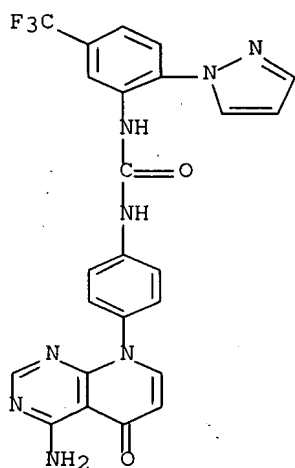
RN 883881-43-4 HCAPLUS

CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-(1H-1,2,3-triazol-1-yl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



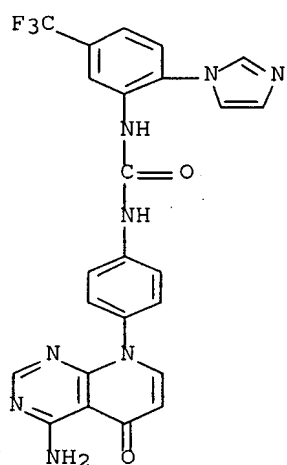
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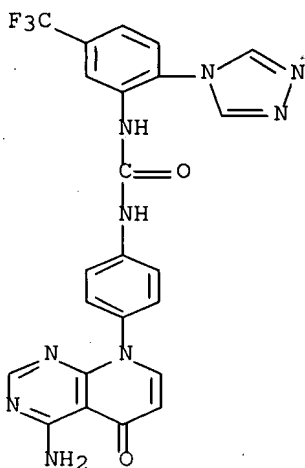
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RN 883881-57-0 HCAPLUS

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REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE  
IN THE RE FORMAT

L33 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1103581 HCAPLUS Full-text

DOCUMENT NUMBER: 143:360132

TITLE: Methods for modulating glutamate receptors for  
treating neuropsychiatric disorders comprising  
the use of modulators of serum and  
glucocorticoid inducible kinases909

INVENTOR(S): Lang, Florian

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005094829	A1	20051013	WO 2005-EP1245	20050208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005229496	A1	20051013	AU 2005-229496	20050208

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US 2007191326	A1	20070816	US 2006-592106	20060908
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IN 2006KN02908	A	20070608	IN 2006-KN2908	20061010
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PRIORITY APPLN. INFO.:		EP 2004-5761	A	20040311
		WO 2005-EP1245	W	20050208

OTHER SOURCE(S): MARPAT 143:360132

ED Entered STN: 14 Oct 2005

AB The invention discloses modulation of the activity of serum and glucocorticoid inducible kinases to restore glutamate receptor activity. Also disclosed are methods and compds. useful for the detection and treatment of neuropsychiatric disorders.

IT 852221-35-3 852221-37-5 852221-39-7  
852221-41-1 852221-43-3 852221-45-5  
852221-47-7 852221-49-9 852221-51-3  
852221-53-5 852221-55-7 852221-57-9  
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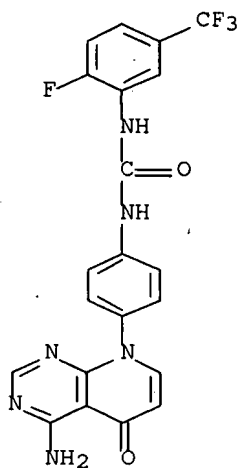
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(serum and glucocorticoid inducible kinase modulators for glutamate receptor modulation and treatment of neuropsychiatric disorders)

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CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

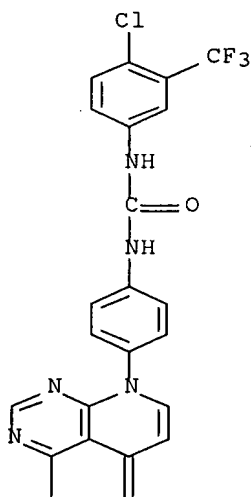




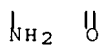
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PAGE 1-A

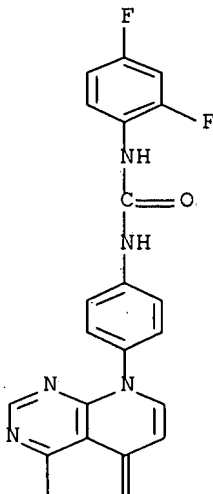


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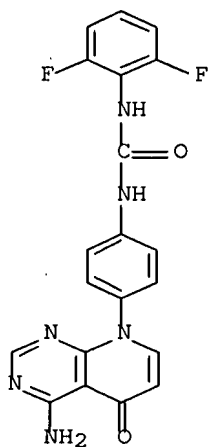
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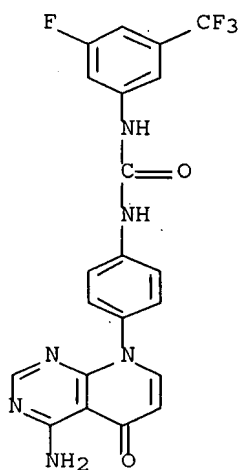
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RN 852221-43-3 HCAPLUS

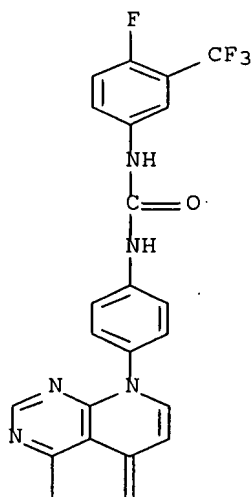
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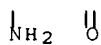
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PAGE 1-A



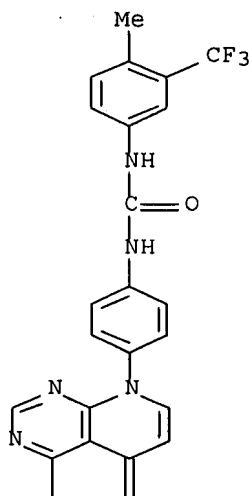
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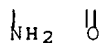
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PAGE 1-A

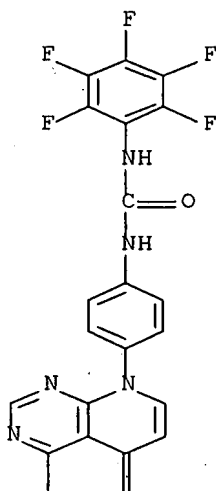


PAGE 2-A



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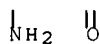
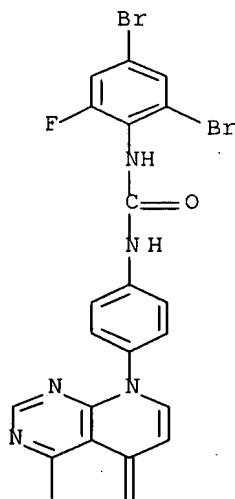
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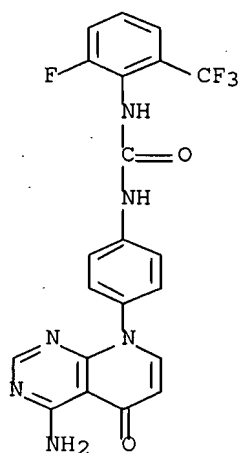
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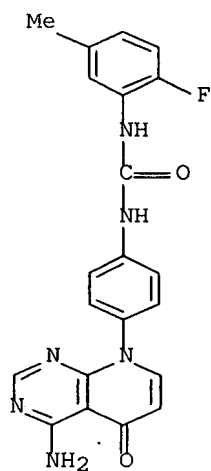
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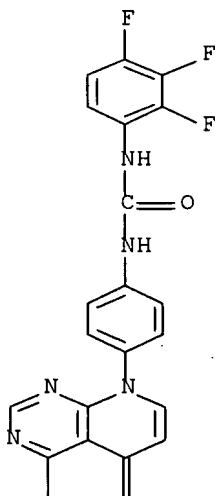
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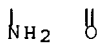
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PAGE 1-A

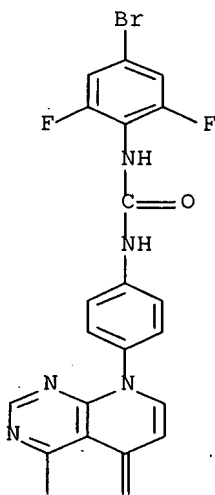


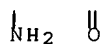
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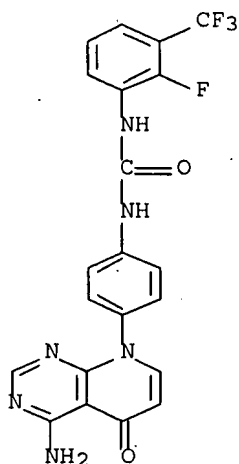
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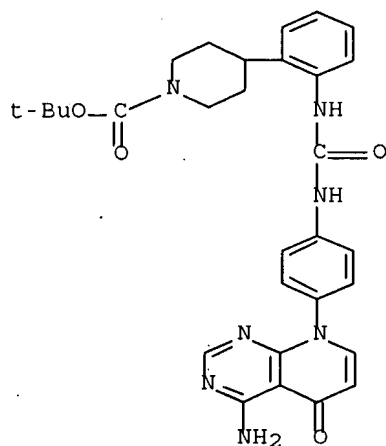
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CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[2-fluoro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



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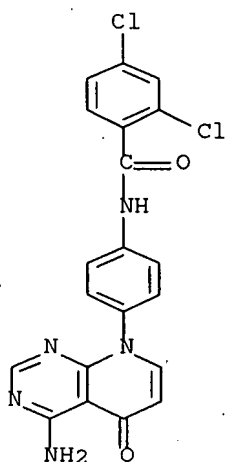
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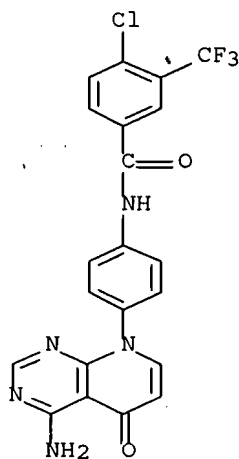
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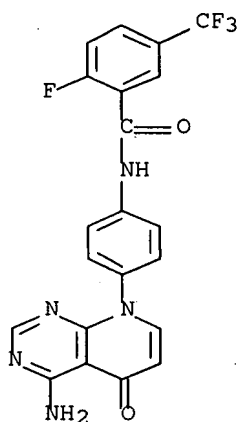
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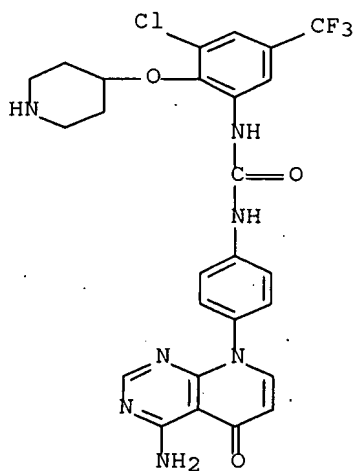
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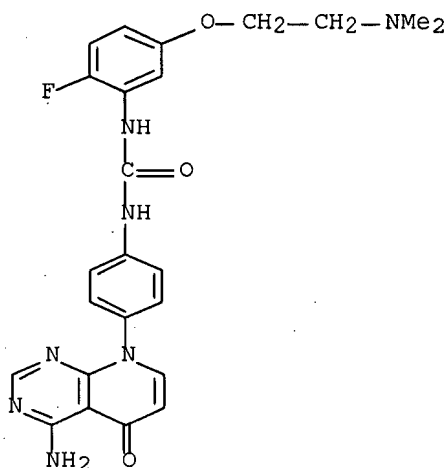
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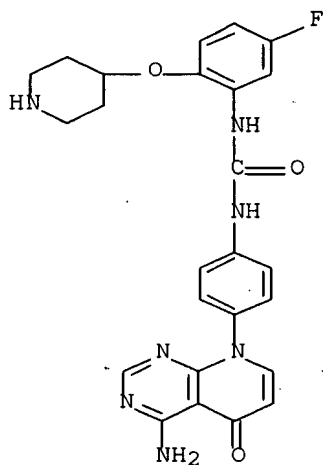
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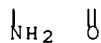
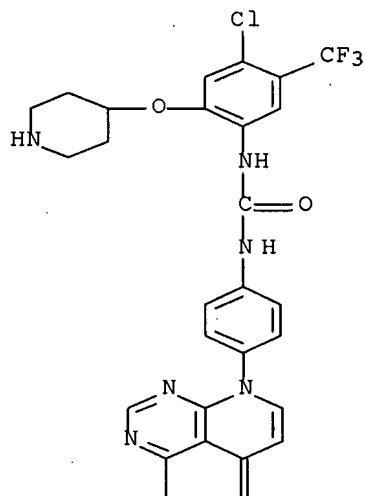
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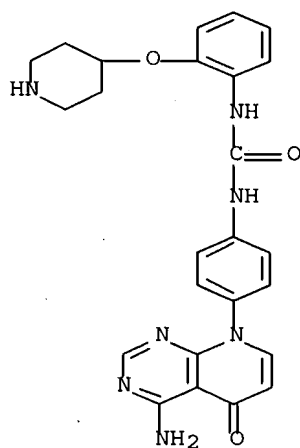
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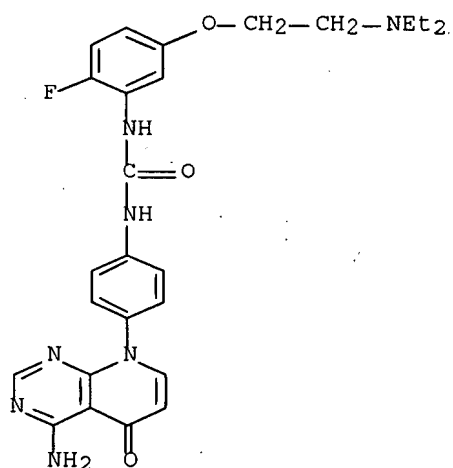
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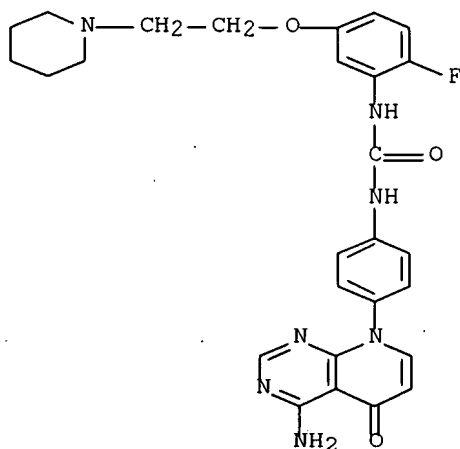
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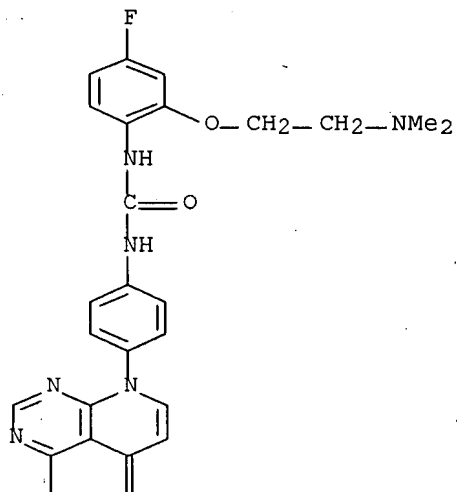
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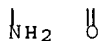
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PAGE 1-A

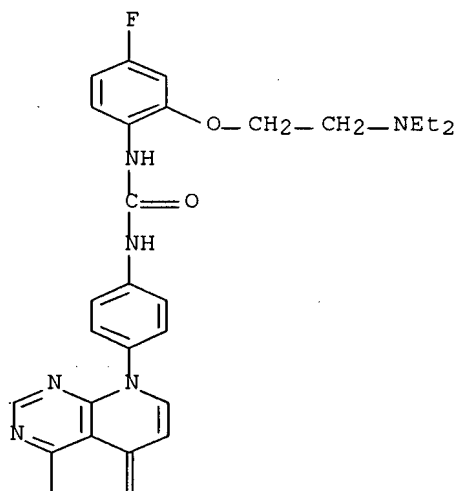


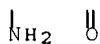
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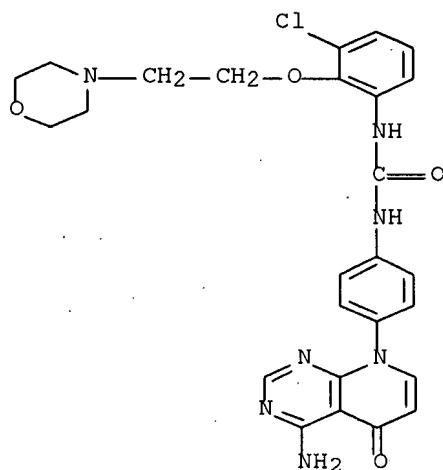
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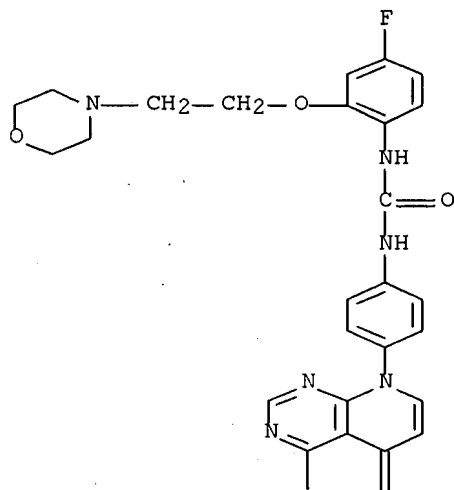
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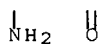
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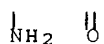
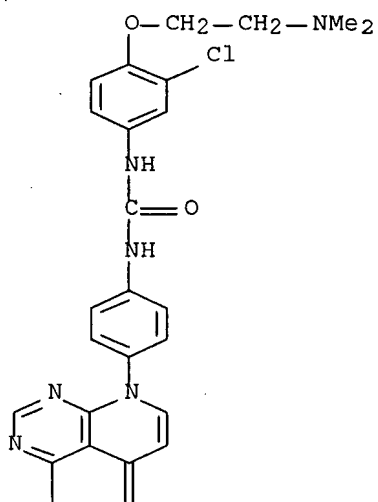
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RN 852221-92-2 HCAPLUS

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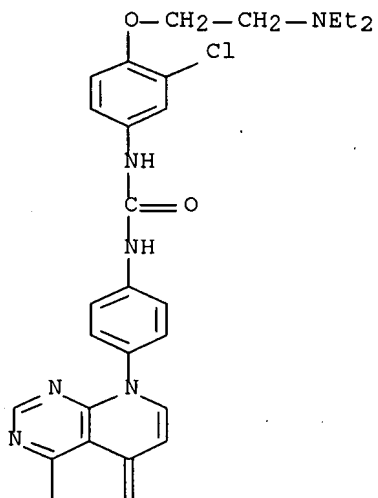


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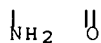
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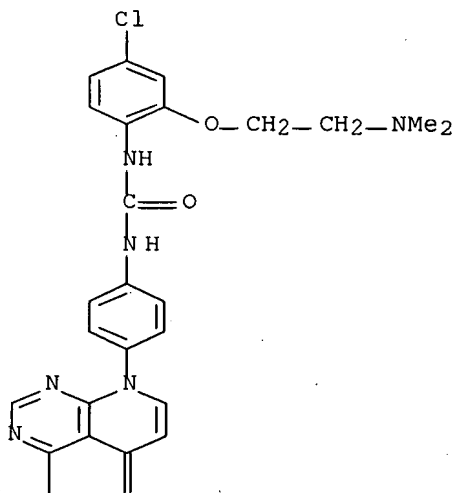


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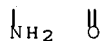


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PAGE 1-A

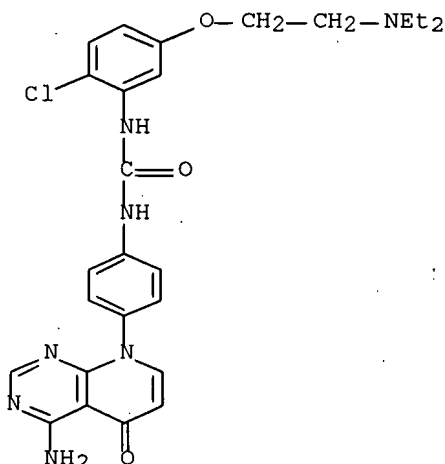


PAGE 2-A



RN 852221-98-8 HCAPLUS

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REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

• ACCESSION NUMBER: 2005:1103556 HCAPLUS Full-text  
DOCUMENT NUMBER: 143:379867  
TITLE: Modulation of connective tissue growth factor activity for diagnosis and treatment of fibrosis  
INVENTOR(S): Lang, Florian  
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany  
SOURCE: PCT Int. Appl., 26 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005094796	A2	20051013	WO 2005-EP1246	20050208

WO 2005094796 A3 20061228

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2559141	A1	20051013	CA 2005-2559141	20050208
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BR 2005008350	A	20070724	BR 2005-8350	20050208
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IN 2006KN02909	A	20070608	IN 2006-KN2909	20061010
PRIORITY APPLN. INFO.:			EP 2004-5767	A 20040311
			WO 2005-EP1246	W 20050208

ED Entered STN: 14 Oct 2005

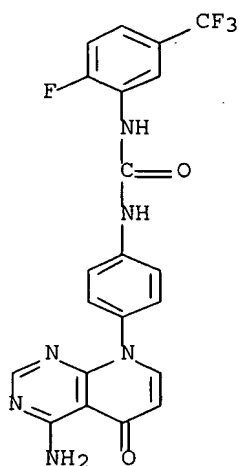
AB An increased expression of connective tissue growth factor strongly correlates with the presence and upregulation of the serum/glucocorticoid inducible kinase SGK1. Modulation of the of glucocorticoid inducible kinases, SGK1, SGK2, and SGK3 to restore connective tissue growth factor activity is described. Methods and acyl hydrazone and pyridopyrimidine compds. useful for the detection and treatment of fibroproliferative disorders are provided.

IT 852221-35-3 852221-37-5 852221-39-7  
 852221-41-1 852221-43-3 852221-45-5  
 852221-47-7 852221-49-9 852221-51-3  
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 852221-90-0 852221-92-2 852221-94-4  
 852221-96-6 852221-98-8 866452-36-0

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (acyl hydrazones and pyridopyrimidines as inhibitors of  
 serum/glucocorticoid inducible kinases for diagnosis and  
 treatment of fibrosis)

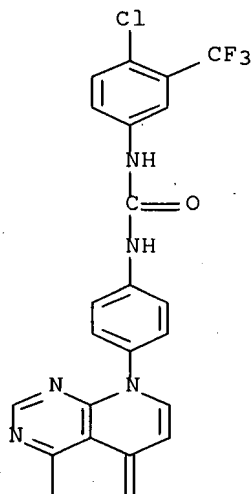
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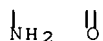


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PAGE 1-A



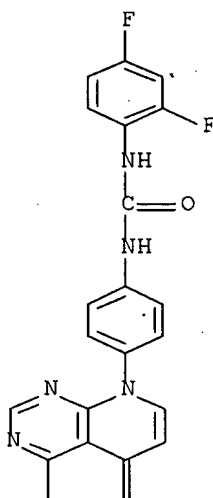
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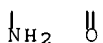
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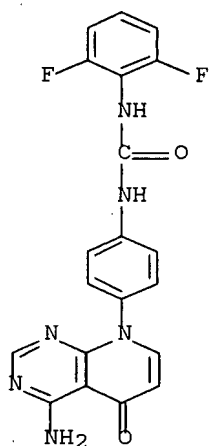


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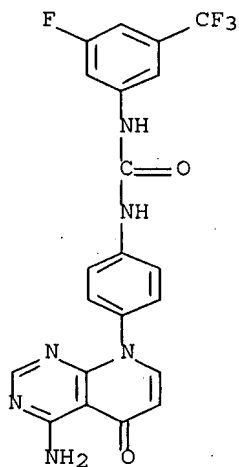
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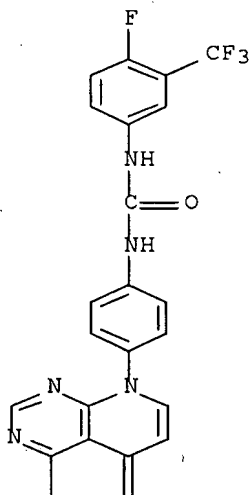
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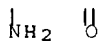
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PAGE 1-A



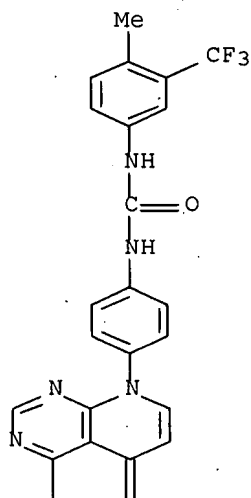
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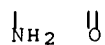
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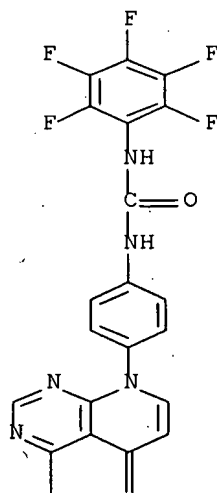


PAGE 2-A



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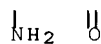
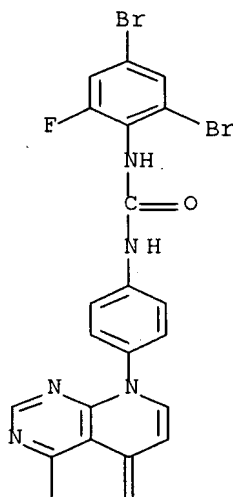
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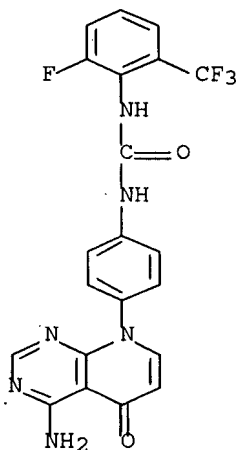
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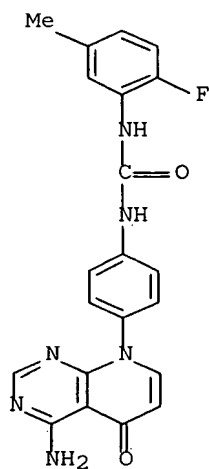
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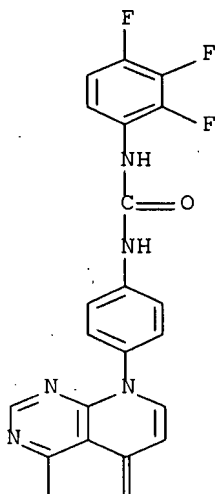
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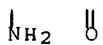
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PAGE 1-A

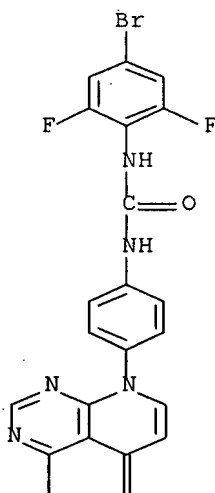


PAGE 2-A



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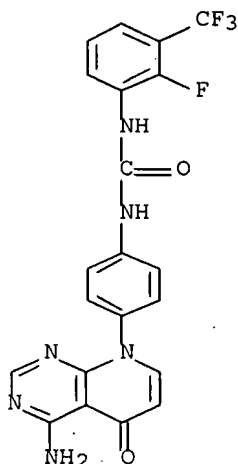
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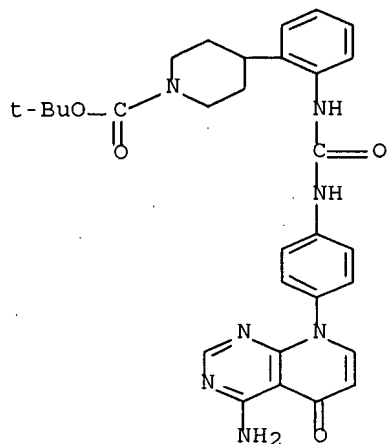
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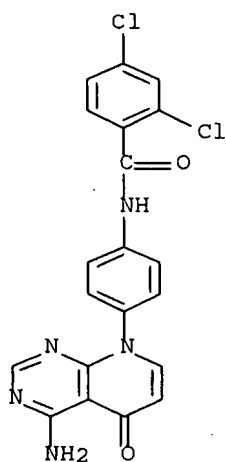
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CN 1-Piperidinecarboxylic acid, 4-[2-[[[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]amino]carbonyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



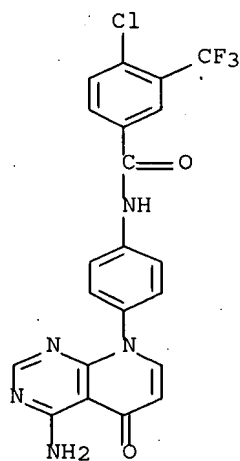
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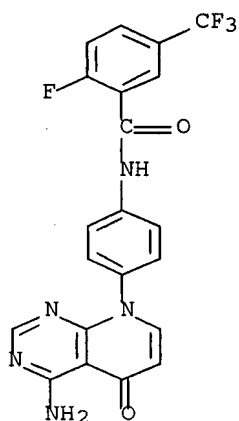
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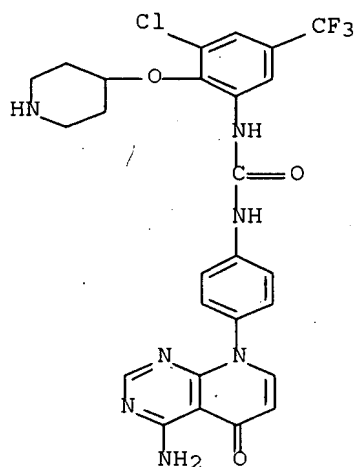
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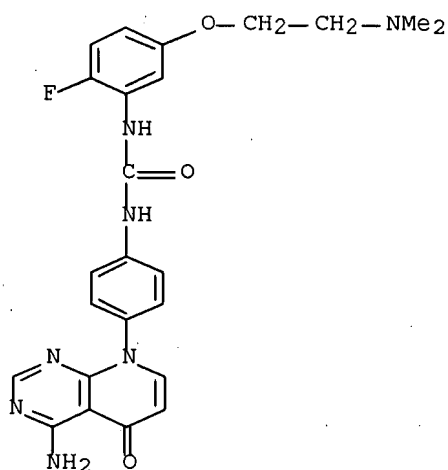
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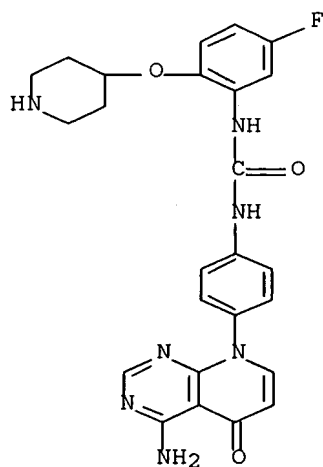
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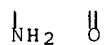
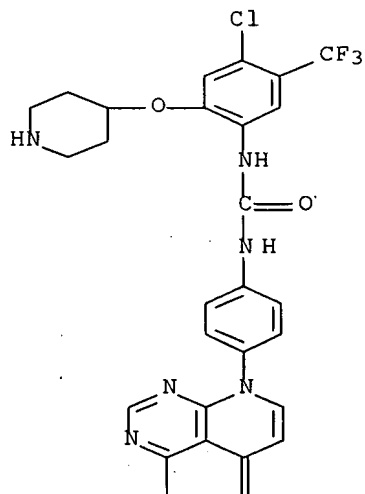
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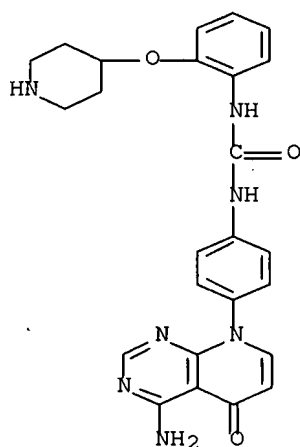
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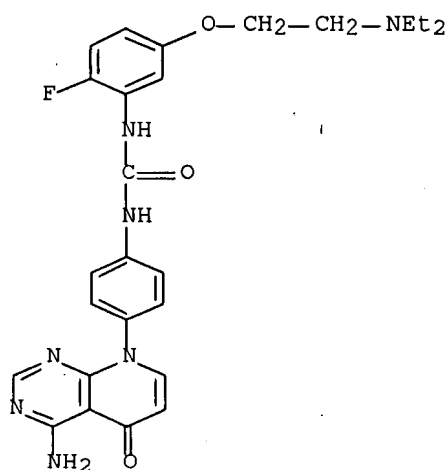
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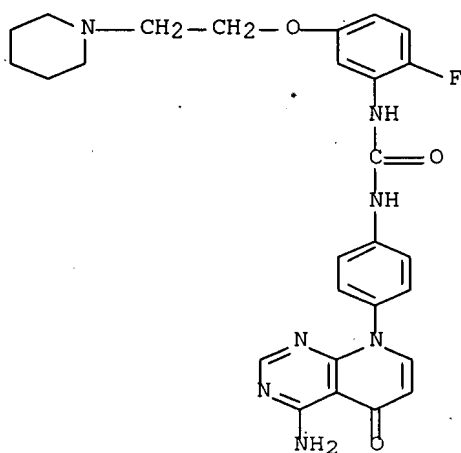
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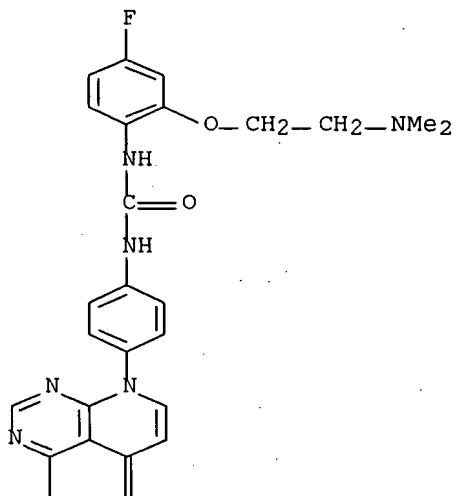


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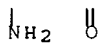
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PAGE 1-A

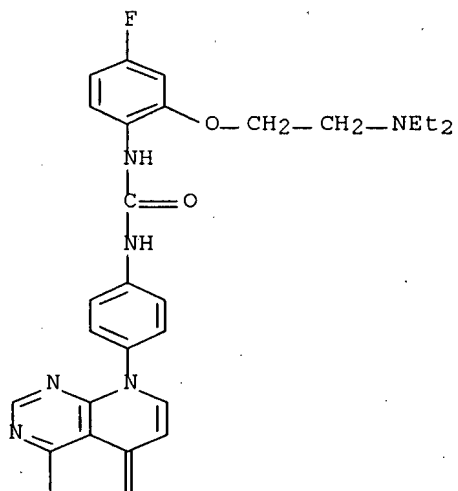


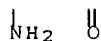
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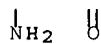
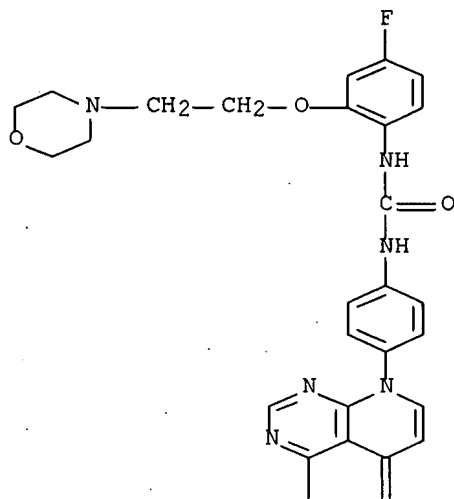
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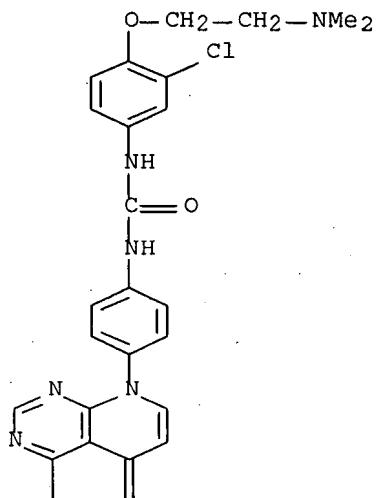
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CN Urea, N-[4-(4-amino-5-oxopyrido[2,3-d]pyrimidin-8(5H)-yl)phenyl]-N'-[3-chloro-4-[2-(dimethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

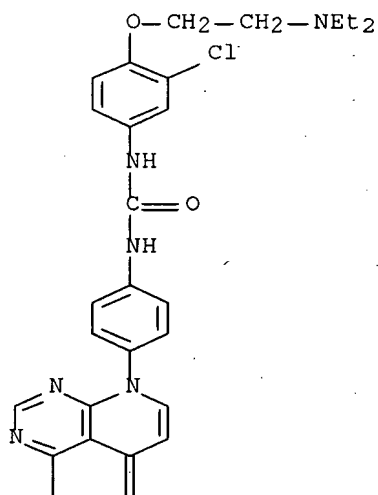


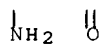
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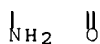
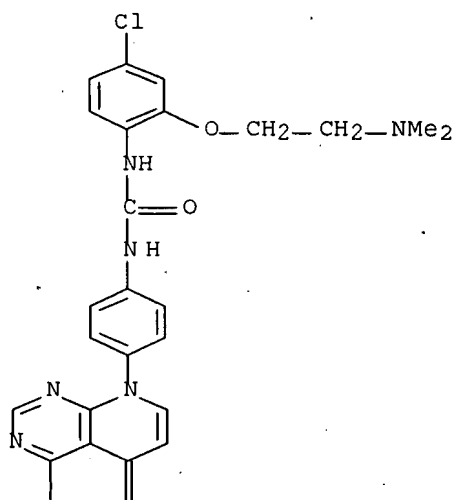
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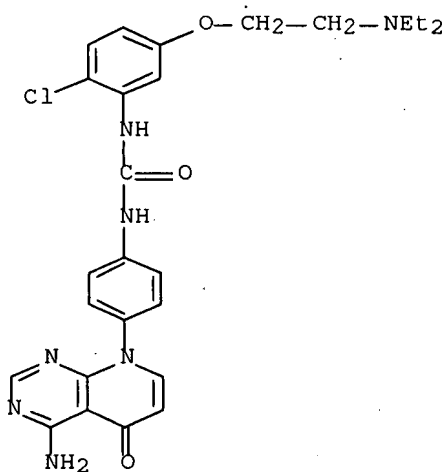
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RN 852221-98-8 HCAPLUS

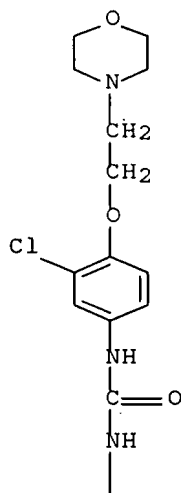
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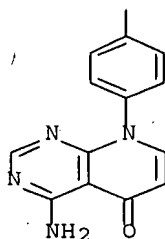
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PAGE 1-A



PAGE 2-A



L33 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1004548 HCAPLUS Full-text  
DOCUMENT NUMBER: 143:299126  
TITLE: Methods for altering insulin secretion  
INVENTOR(S): Lang, Florian  
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany  
SOURCE: PCT Int. Appl., 28 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005084651	A2	20050915	WO 2005-EP1322	20050210
WO 2005084651	A3	20051013		
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
RW:			BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
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CA 2558810	A1	20050915	CA 2005-2558810	20050210
EP 1722769	A2	20061122	EP 2005-707302	20050210
R:			AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR	
CN 1929831	A	20070314	CN 2005-80007251	20050210
MX 2006PA10018	A	20061115	MX 2006-PA10018	20060904
US 2007191325	A1	20070816	US 2006-591909	20060907
IN 2006KN02872	A	20070608	IN 2006-KN2872	200610

PRIORITY APPLN. INFO.:

EP 2004-5404

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WO 2005-EP1322

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ED Entered STN: 16 Sep 2005

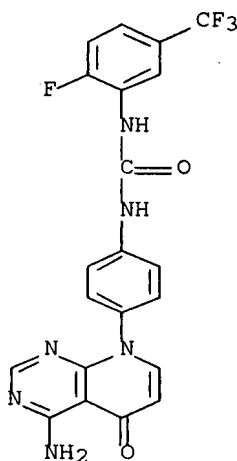
AB Modulation of the activity of glucocorticoid inducible kinase SGK1 in pancreatic islet cells restores insulin release. Also disclosed are methods and compds. useful for the treatment of glucocorticoid induced diabetes mellitus type-2.

IT 852221-35-3 852221-37-5 852221-39-7  
 852221-41-1 852221-43-3 852221-45-5  
 852221-47-7 852221-49-9 852221-51-3  
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RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (methods for altering insulin secretion)

RN 852221-35-3 HCAPLUS

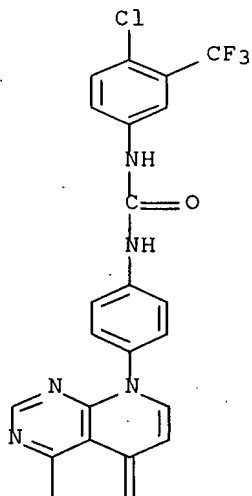
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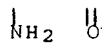
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PAGE 1-A

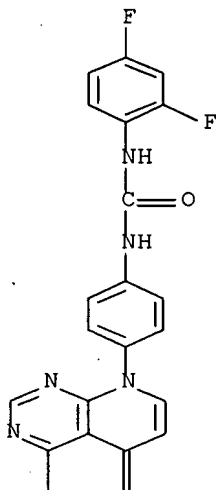


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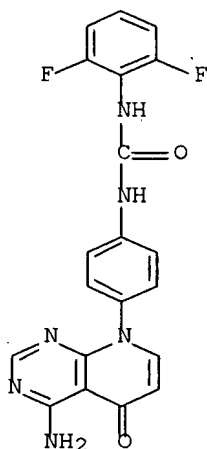






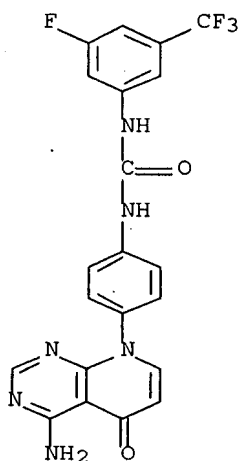
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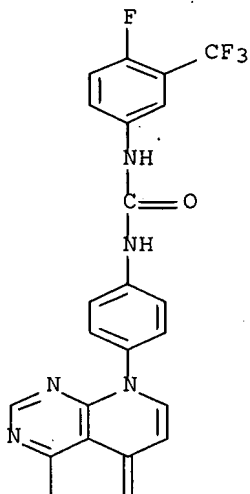
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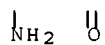
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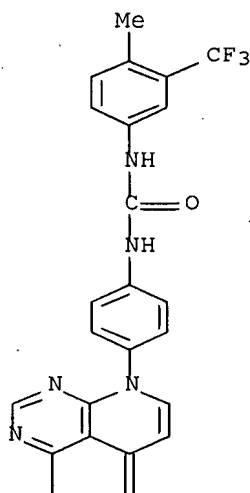


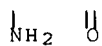
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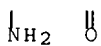
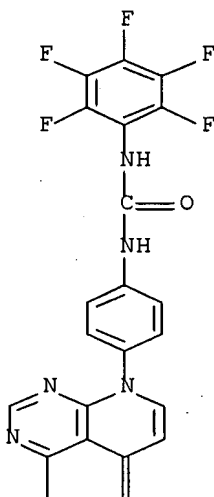
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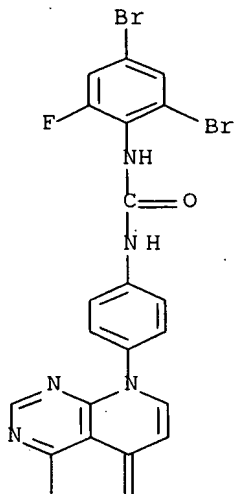
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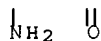
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PAGE 1-A

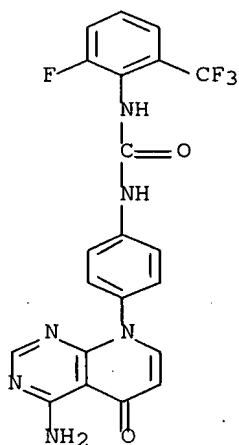


PAGE 2-A



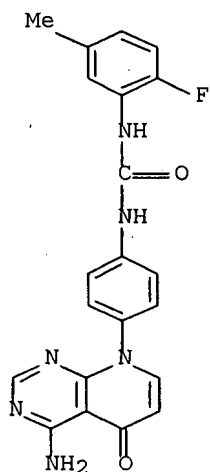
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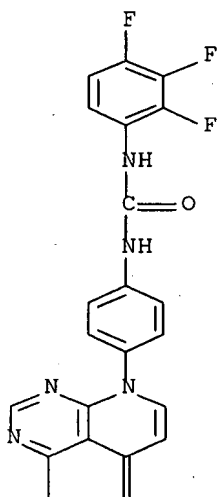
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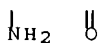
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PAGE 1-A

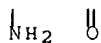
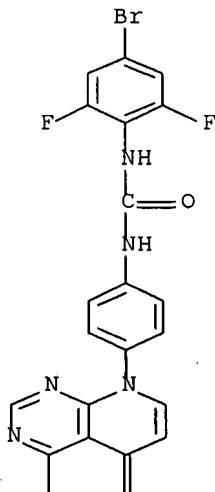


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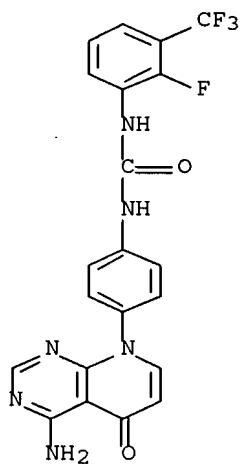


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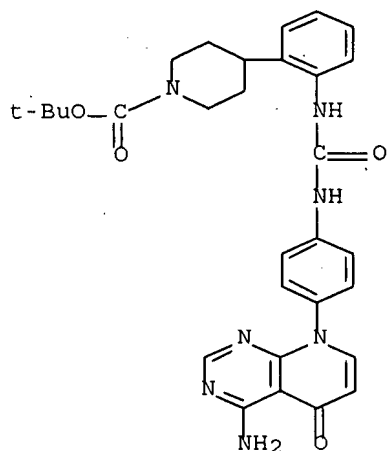
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RN 852221-61-5 HCAPLUS  
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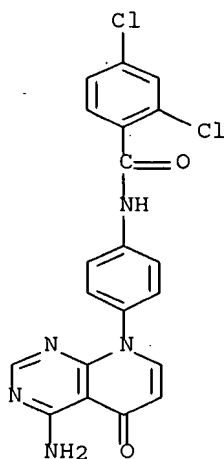


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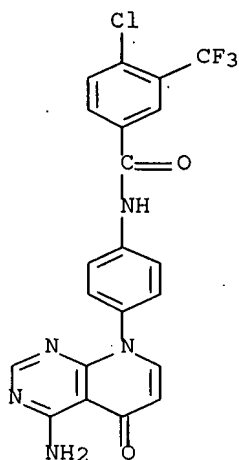
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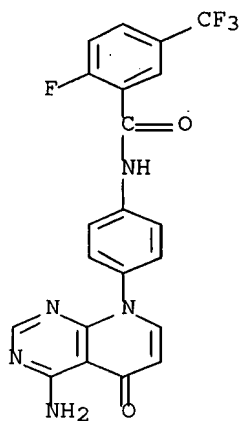
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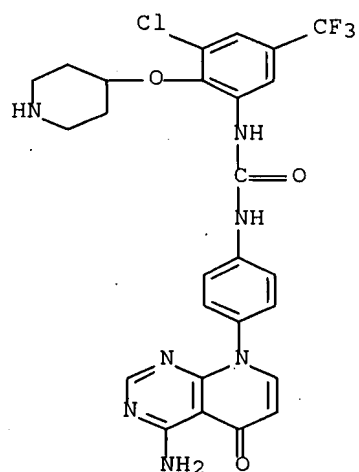
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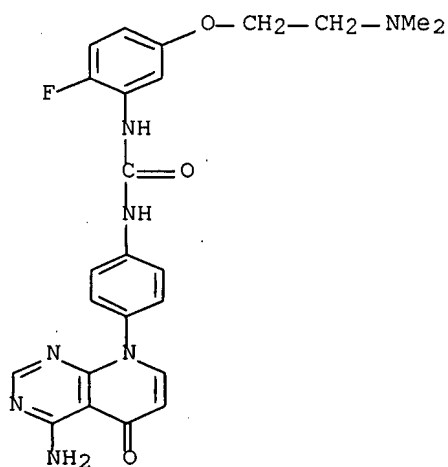
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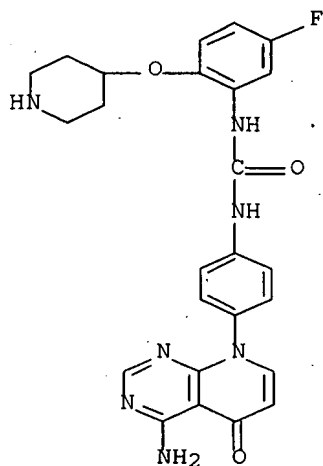
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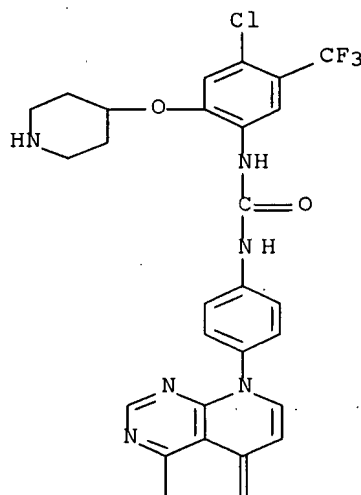
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RN 852221-76-2 HCAPLUS

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(CA INDEX NAME)

PAGE 1-A

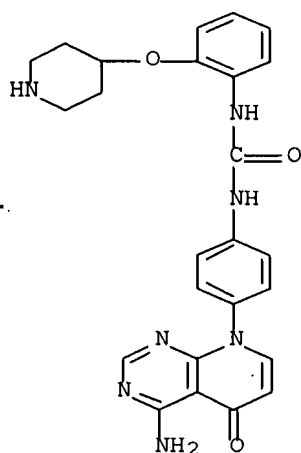


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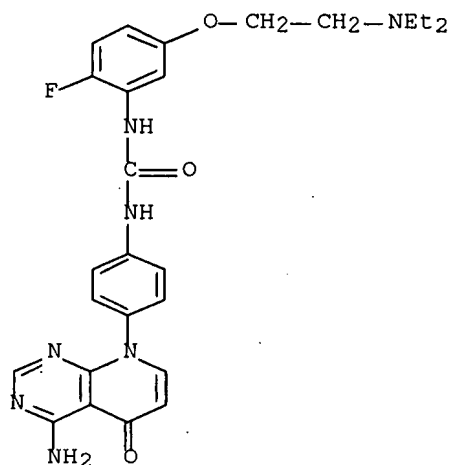
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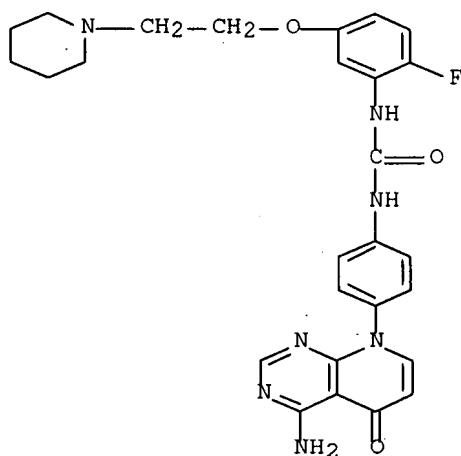
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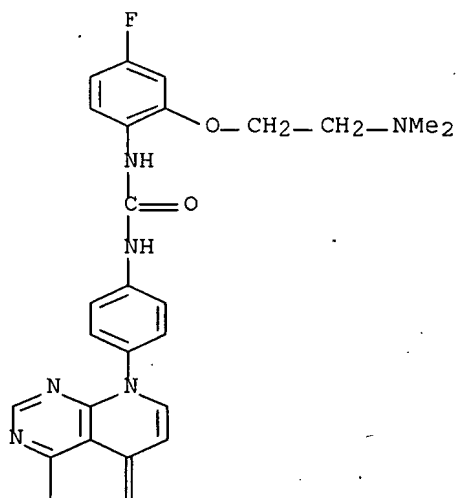
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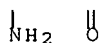
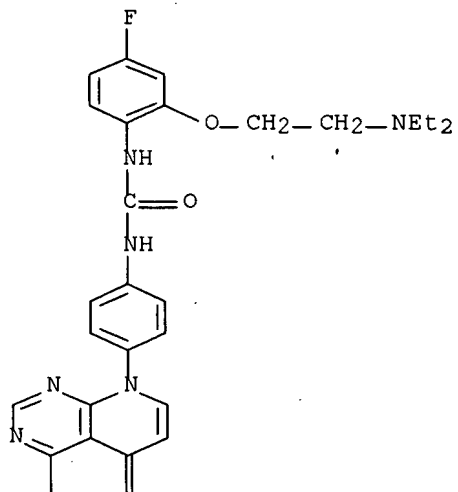
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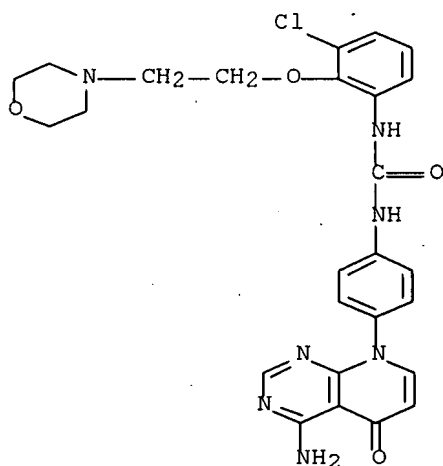


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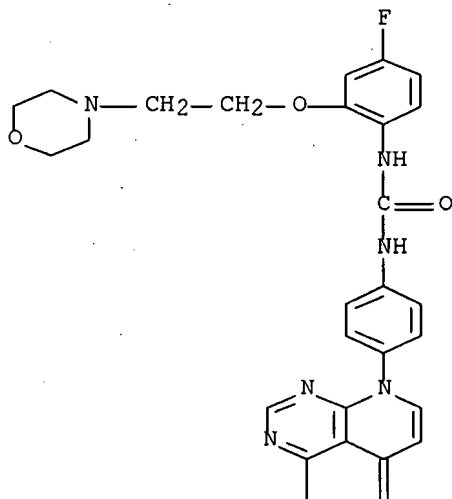
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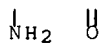
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PAGE 1-A



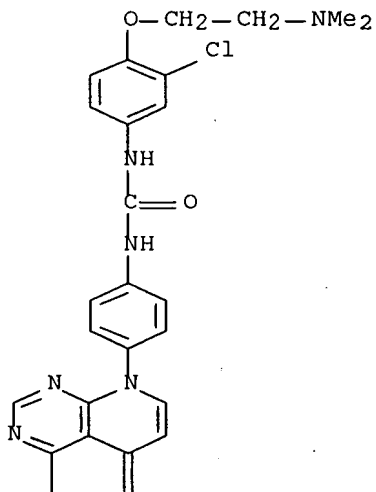
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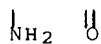


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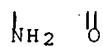
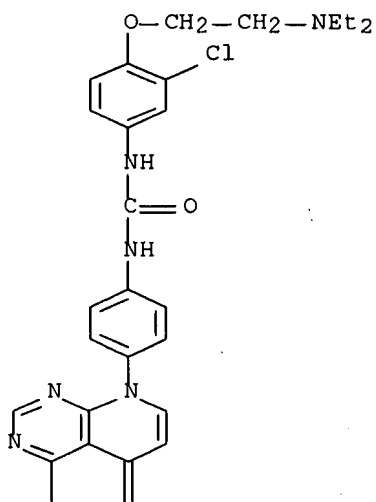
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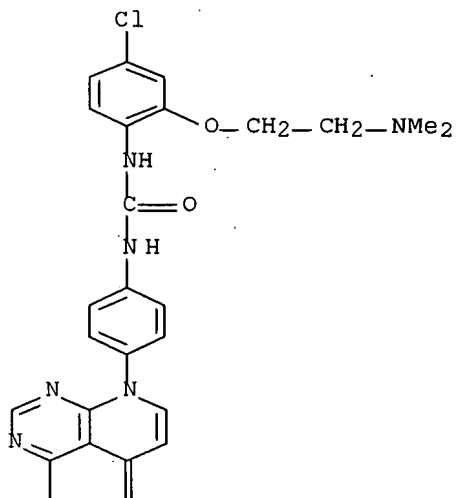
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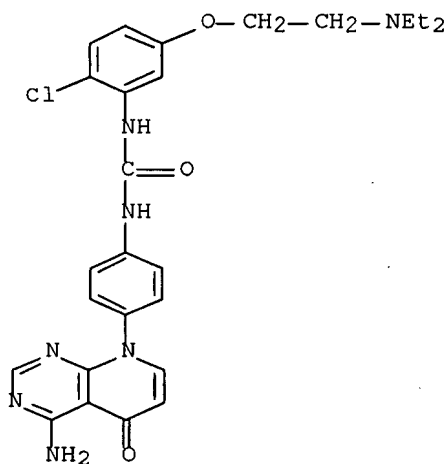
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TITLE: Preparation of triazolopyrimidine derivatives as serine-tyrosine and tyrosine kinases inhibitors

INVENTOR(S): Ludovici, Donald W.; Connors, Richard W.; Coats, Steven J.; Liu, Li; De Corte, Bart L.; Johnson, Dana L.; Schulz, Mark J.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 97 pp.  
CODEN: PIXXD2

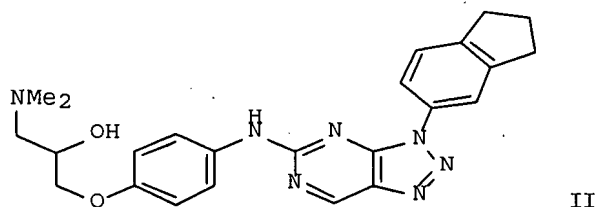
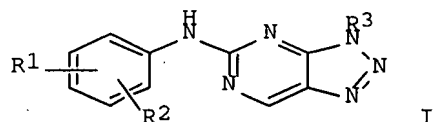
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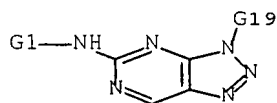
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006076442	A2	20060720	WO 2006-US999	20060111
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p>				
US 2007015207	A1	20070118	US 2006-329642	20060111
PRIORITY APPLN. INFO.:			US 2005-644466P	20050114
GI				



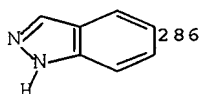
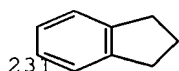
AB Title compds. represented by the formula I [wherein R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (cyclo)alkyl, hydroxy, amino, etc.; R3 = aryl(alkyl), cycloalkyl, quinolinyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as serine-tyrosine and tyrosine kinases inhibitors. For example, II was provided in a multi-step synthesis starting from reaction of 3-dimethylamino-1-propanol with 1-fluoro-4-nitrobenzene. I were tested for effects on the tyrosine kinase activity of Focal Adhesion Kinase (FAK) in vitro FAK ELISA kinase assay and CAK (Cyclin Dependent Kinase Activating Kinase) assay.

MSTR 1 ITERATION INCOMPLETE



G1 = 12 / carbocycle <containing 7-11 C, aromatic, 6 normalized bonds, bicyclic, (0-1) 3-membered, (0-1) 4-membered, (0-1) 5-membered, (1-2) 6-membered, (0-1) 7-membered rings only> / heterocycle <containing 3-11 atoms, 1 or more heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), aromatic, 6 or more normalized bonds, bicyclic, (0-1) 3-membered, (0-1) 4-membered, (0-1) 5-membered, (1-2) 6-membered, (0-1) 7-membered rings only> / (Specifically claimed: 231 / 286)

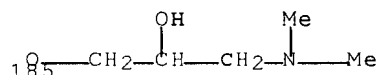
<sup>1</sup>G<sup>2</sup>-G<sup>4</sup>

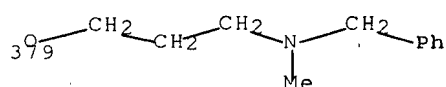
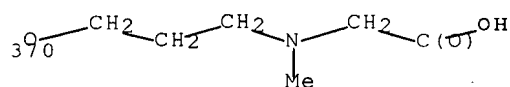
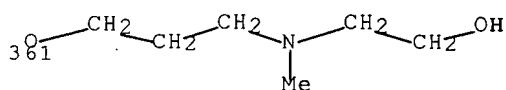
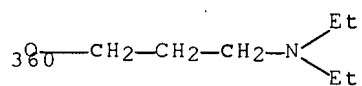
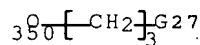
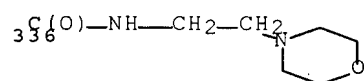
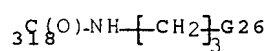
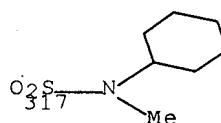
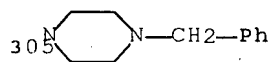
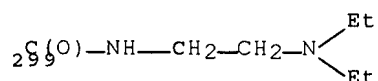
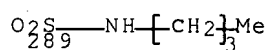
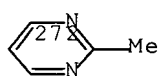
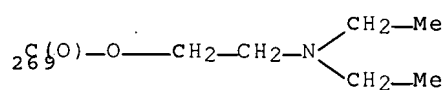
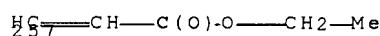
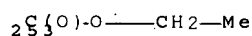
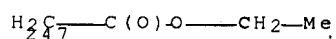
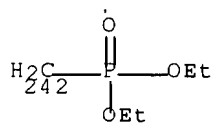
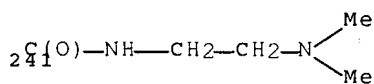
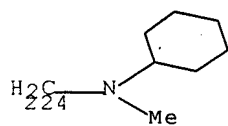
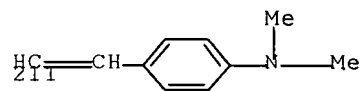
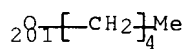
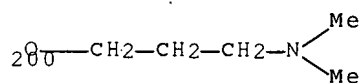


G2 = phenylene (opt. substd. by G3)  
 G3 = alkyl <containing 1-6 C> / alkoxy <containing 1-6 C> / cycloalkyl <containing 3-7 C> / OH / NH<sub>2</sub> / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> / (Specifically claimed: OMe)  
 G4 = alkyl <containing 1-8 C> (opt. substd. by (1-3) G5) / alkenyl <containing 2-8 C> (opt. substd. by G14) / alkynyl <containing 2-8 C> (opt. substd. by G14) / alkoxy <containing 1-8 C> (opt. substd. by (1-3) G15) / 31 / alkoxy carbonyl <containing 1-6 C> (opt. substd. by (1-3) G15) / CONH<sub>2</sub> / alkylaminocarbonyl <containing 1-6 C> / dialkylaminocarbonyl <each alkyl containing 1-6 C> / aryl / tetrazolyl (opt. substd. by (1-3) alkyl <containing 1-6 C>) / thiadiazolyl (opt. substd. by (1-3) alkyl <containing 1-6 C>) / oxazolyl (opt. substd. by (1-3) alkyl <containing 1-6 C>) / pyrimidinyl (opt. substd. by (1-3) alkyl <containing 1-6 C>) / 37 / (Specifically claimed: 185 / 201 / 200 / 211 / 224 / 241 / Ph / 242 / 247 / 253 / 257 / CONHMe / 269 / OMe / 272 / 289 / 299 / 305 / 317 / 318 / 336 / 350 / 360 / 361 / 370 / 379)

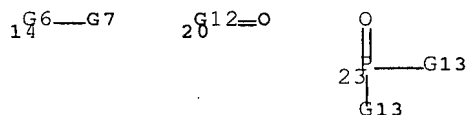
<sup>3</sup>G<sup>1</sup>(O)-G<sup>16</sup>

<sup>3</sup>G<sup>17</sup>-G<sup>18</sup>





G5 = NH<sub>2</sub> / 14 / heterocycle <containing 1-4 heteroatoms,  
1 or more N, zero or more O, zero or more S (no other  
heteroatoms), attached through 1 or more N, monocyclic>  
(opt. substd. by (1-3) G11) / 20 / 23 /  
alkoxycarbonyl <containing 1-6 C>



G6 = NH / 16



G7 = alkyl <containing 1-6 C>  
(opt. substd. by (1-3) G8) / cycloalkyl <containing 3-7 C>  
(opt. substd. by (1-3) G8)

G8 = NH<sub>2</sub> / alkylamino <containing 1-6 C> /  
dialkylamino <each alkyl containing 1-6 C> /  
heterocycle <containing 5-8 atoms, 1 or more heteroatoms,  
1 or more N, zero or more O, zero or more S (no other  
heteroatoms), attached through 1 or more N,  
5- to 8-membered monocyclic ring>  
(opt. substd. by (1-3) G9) / 18 / OH /  
alkoxycarbonyl <containing 1-6 C> / CO<sub>2</sub>H / aryl /  
heterocycle <containing 5-6 atoms, 1-3 heteroatoms, 1-2 N,  
0-1 O, 0-1 S (no other heteroatoms), aromatic,  
2 or more double bonds, 5- to 6-membered monocyclic ring>  
(opt. substd. by (1-3) alkyl <containing 1-6 C>)



G9 = alkyl <containing 1-6 C> /  
alkoxy <containing 1-6 C> / alkyl <containing 1-6 C>  
(substd. by 1 or more aryl) / alkoxycarbonyl <containing 1-6  
C> / CO<sub>2</sub>H / OH

G10 = heterocycle <containing 5-8 atoms,  
1 or more heteroatoms, 1 or more N, zero or more O,  
zero or more S (no other heteroatoms),  
attached through 1 or more N, 5- to 8-membered monocyclic  
ring> (opt. substd. by (1-3) G9)

G11 = alkyl <containing 1-6 C>  
(opt. substd. by 1 or more aryl) /  
alkoxycarbonyl <containing 1-6 C> / CO<sub>2</sub>H / OH

G12 = heterocycle <containing 1-4 heteroatoms,  
1 or more N, zero or more O, zero or more S (no other  
heteroatoms), attached through 1 or more N, monocyclic>  
(opt. substd. by (1-3) G11)

G13 = alkyl <containing 1-6 C>

G14 = aryl / alkoxycarbonyl <containing 1-6 C>

G15 = NH<sub>2</sub> / 27 / heterocycle <containing 1-4 heteroatoms,  
1 or more N, zero or more O, zero or more S (no other

heteroatoms), attached through 1 or more N, monocyclic>  
(opt. substd. by (1-3) G11) / 29 / OH



G16 = NH2 / 33 / heterocycle <containing 1-4 heteroatoms,  
1 or more N, zero or more O, zero or more S (no other  
heteroatoms), attached through 1 or more N, monocyclic>  
(opt. substd. by (1-3) G11) / 35 / OH

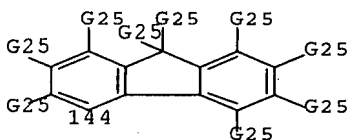
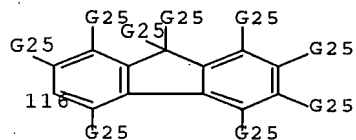
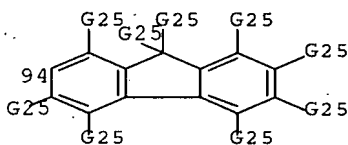
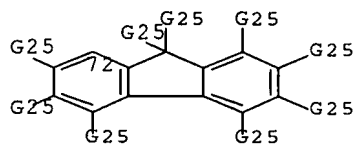


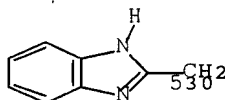
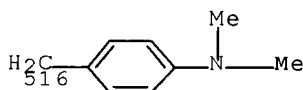
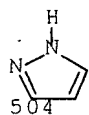
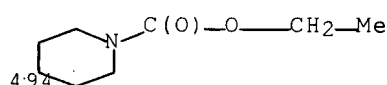
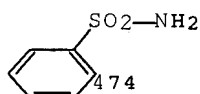
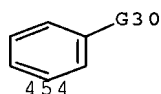
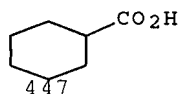
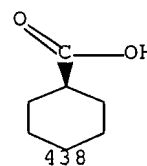
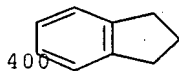
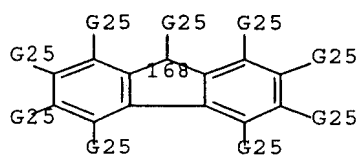
G17 = S(O) / SO2

G18 = NH2 / alkylamino <containing 1-6 C> /  
dialkylamino <each alkyl containing 1-6 C> /  
heterocycle <containing 5-8 atoms, 1 or more heteroatoms,  
1 or more N, zero or more O, zero or more S (no other  
heteroatoms), attached through 1 or more N,  
5- to 8-membered monocyclic ring>  
(opt. substd. by (1-3) G9) / 39



G19 = alkyl <containing 1-6 C> (substd. by G20) /  
aryl (opt. substd. by (1-3) G21) /  
cycloalkyl <containing 3-7 C> (opt. substd. by (1-3) G22) /  
carbocycle <containing 7-11 C, aromatic, 6 normalized bonds,  
bicyclic, (0-1) 3-membered, (0-1) 4-membered,  
(0-1) 5-membered, (1-2) 6-membered,  
(0-1) 7-membered rings only> (opt. substd. by 1 or more G24)  
/ quinolinyl (opt. substd.) / benzothiazolyl (opt. substd.) /  
benzimidazolyl (opt. substd.) / pyrazolyl (opt. substd.) /  
72 / 94 / 116 / 144 / 168 / (Specifically claimed: 400 /  
Ph (opt. substd. by 1 or more G28) / cyclohexyl /  
2-naphthyl / cyclohexyl / 408 / 438 / 447 / 454 / 474 /  
CH2Ph / 494 / 504 / cyclopentyl / 516 / 530)





- G20 = aryl (opt. substd. by (1-3) G21)  
 G21 = alkyl <containing 1-6 C> /  
 alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /  
 alkoxy <containing 1-6 C> / OH / CN / F / CO<sub>2</sub>H /  
 cycloalkyl <containing 3-7 C> / NH<sub>2</sub> / 42 /  
 heterocycle <containing 1-4 heteroatoms, 1 or more N,  
 zero or more O, zero or more S (no other heteroatoms),  
 attached through 1 or more N, monocyclic>  
 (opt. substd. by (1-3) G11) / 44 / 46 /  
 alkoxycarbonyl <containing 1-6 C> / 48 / pyrimidinyl /  
 thiadiazolyl / tetrazolyl / pyrazolyl / oxazolyl



- G22 = OH / NH<sub>2</sub> / 50 / heterocycle <containing 1-4  
 heteroatoms, 1 or more N, zero or more O,  
 zero or more S (no other heteroatoms),  
 attached through 1 or more N, monocyclic>  
 (opt. substd. by (1-3) G11) / 52 / 54 /  
 alkoxycarbonyl <containing 1-6 C> / CO<sub>2</sub>H / 56



- G23 = NH<sub>2</sub> / alkylamino <containing 1-6 C> /  
 dialkylamino <each alkyl containing 1-6 C> /  
 heterocycle <containing 5-8 atoms, 1 or more heteroatoms,  
 1 or more N, zero or more O, zero or more S (no other  
 heteroatoms), attached through 1 or more N,

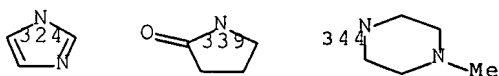
5- to 8-membered monocyclic ring>  
 (opt. substd. by (1-3) G9) / 58 / alkyl <containing 1-6 C>

$58^{10=0}$

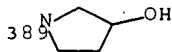
G24 = alkyl <containing 1-6 C> /  
 alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /  
 alkoxy <containing 1-6 C> / OH / CN / F / CO<sub>2</sub>H /  
 cycloalkyl <containing 3-7 C> / NH<sub>2</sub> / 66 /  
 heterocycle <containing 1-4 heteroatoms, 1 or more N,  
 zero or more O, zero or more S (no other heteroatoms),  
 attached through 1 or more N, monocyclic>  
 (opt. substd. by (1-3) G11) / 60 / 62 /  
 alkoxycarbonyl <containing 1-6 C> / 68 / pyrimidinyl /  
 thiadiazolyl / tetrazolyl / pyrazolyl / oxazolyl

$68^{12=0}$      $62^{(O)-G16}$      $66^G-G7$      $68^{17-G23}$

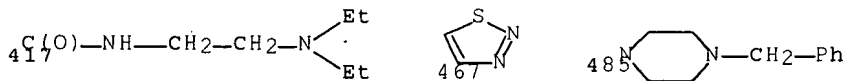
G25 = H / R  
 G26 = pyrrolidino / 324 / 339 / 344



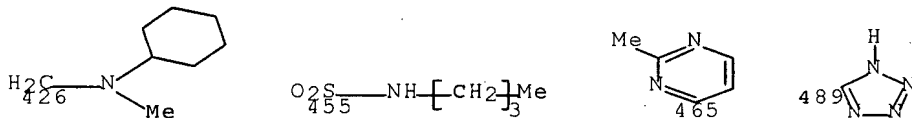
G27 = OH / morpholino / pyrrolidino / 389

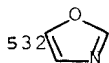


G28 = Me / OMe / F / CN  
 G29 = cyclohexyl / morpholino / 417 / 467 / 485



G30 = 426 / 455 / 465 / CONHMe / SO<sub>2</sub>NH<sub>2</sub> / 489 / 532





Patent location: claim 21  
 Note: or pharmaceutically acceptable salts

L33 ANSWER 6 OF 6 MARPAT COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 144:468194 MARPAT Full-text  
 TITLE: Preparation of 4-amino-pyrido[2,3-d]pyrimidin-5(1H)-ones as Raf and Tie-2 kinase inhibitors  
 INVENTOR(S): Hoelzemann, Guenter; Ackermann, Karl-August; Crassier, Helene; Jonczyk, Alfred; Rautenberg, Wilfried; Tarrason, Gema; Rosell-Vives, Elisabet; Adan, Jaume; Cases, Claudia  
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany  
 SOURCE: Ger. Offen., 37 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004054215	A1	20060511	DE 2004-10200405421520041110	
AU 2005304087	A1	20060518	AU 2005-304087	20051020
CA 2587609	A1	20060518	CA 2005-2587609	20051020
WO 2006050800	A1	20060518	WO 2005-EP11304	20051020
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EP 1809630	A1	20070725	EP 2005-800485	20051020
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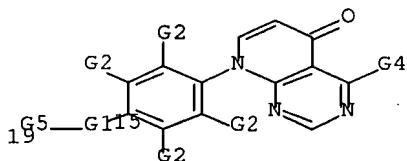
PRIORITY APPLN. INFO.: DE 2004-10200405421520041110  
 WO 2005-EP11304 20051020

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MSTR 1



Patent location:

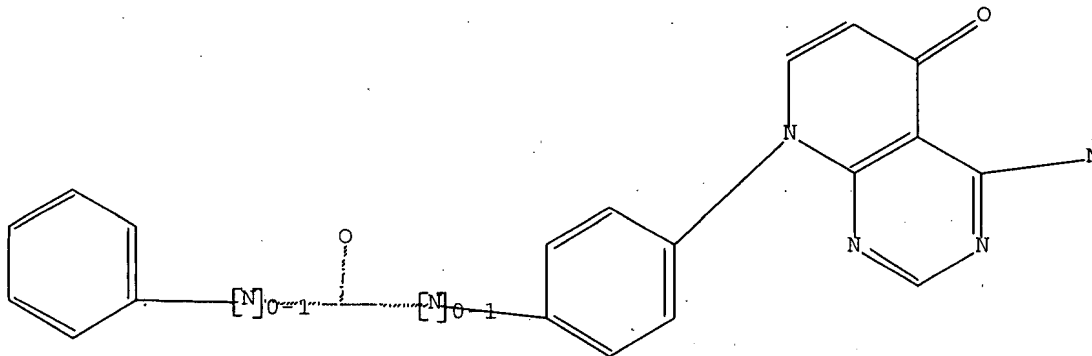
claim 1

and pharmaceutically acceptable derivatives,  
solvates, salts, and tautomers  
and stereoisomers

Stereochemistry:

# SEARCH HISTORY

=> d stat que 17  
L5 STR

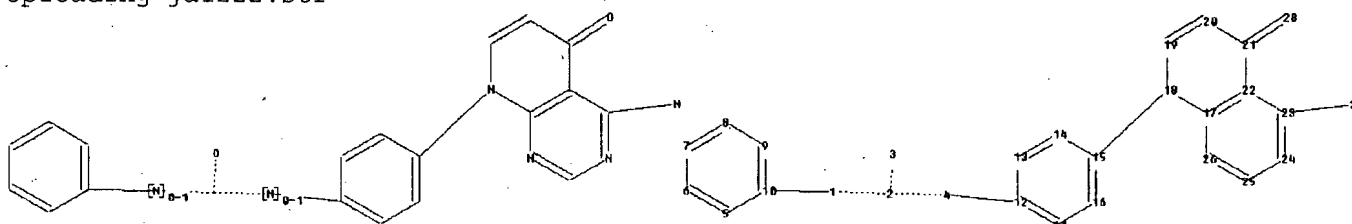


Structure attributes must be viewed using STN Express query preparation.  
L7 66 SEA FILE=REGISTRY SSS FUL L5

100.0% PROCESSED 1965 ITERATIONS  
SEARCH TIME: 00.00.01

66 ANSWERS

Uploading jai222.str



chain nodes :

1 2 3 4 27 28

ring nodes :

5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26

chain bonds :

1-2 1-10 2-3 2-4 4-12 15-18 21-28 23-27

ring bonds :

5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 17-18  
17-22 17-26 18-19 19-20 20-21 21-22 22-23 23-24 24-25 25-26

exact/norm bonds :

1-2 1-10 2-3 2-4 4-12 15-18 17-18 18-19 19-20 20-21 21-22 21-28 23-27

normalized bonds :

5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 17-22  
17-26 22-23 23-24 24-25 25-26

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom

=> d his nofile

(FILE 'HOME' ENTERED AT 12:41:19 ON 20 AUG 2007)

FILE 'REGISTRY' ENTERED AT 12:41:31 ON 20 AUG 2007

L5 STRUCTURE UPLOADED  
L6 3 SEA SSS SAM L5  
L7 66 SEA SSS FUL L5  
SAV L7 JAI222/A

FILE 'HCAPLUS' ENTERED AT 16:47:27 ON 20 AUG 2007

L8 5 SEA ABB=ON PLU=ON L7

FILE 'CASREACT' ENTERED AT 17:02:52 ON 20 AUG 2007

L9 0 SEA ABB=ON PLU=ON L7

FILE 'REGISTRY' ENTERED AT 17:04:17 ON 20 AUG 2007

L10 0 SEA ABB=ON PLU=ON L7 AND CASREACT/LC

FILE 'BEILSTEIN' ENTERED AT 17:06:11 ON 20 AUG 2007

L11 0 SEA SSS SAM L5  
L12 0 SEA SSS FUL L5

FILE 'MARPAT' ENTERED AT 17:10:13 ON 20 AUG 2007

L17 0 SEA SSS SAM L5  
L18 5 SEA SSS FUL L5

FILE 'HCAPLUS' ENTERED AT 17:12:39 ON 20 AUG 2007

L20 83 SEA ABB=ON PLU=ON HOELZEMANN G?/AU  
L21 13 SEA ABB=ON PLU=ON CRASSIER H?/AU  
L22 200 SEA ABB=ON PLU=ON ACKERMANN K?/AU  
L23 30 SEA ABB=ON PLU=ON STAEHLE W?/AU  
L24 285 SEA ABB=ON PLU=ON JONCZYK A?/AU  
L25 52 SEA ABB=ON PLU=ON RAUTENBERG W?/AU  
L26 21 SEA ABB=ON PLU=ON MITJANS F?/AU  
L27 12 SEA ABB=ON PLU=ON ROSELL-VIVES E?/AU  
L28 21 SEA ABB=ON PLU=ON MITJANS F?/AU  
L29 21 SEA ABB=ON PLU=ON ADAN J?/AU  
L30 110 SEA ABB=ON PLU=ON SOLER R?/AU  
L31 1 SEA ABB=ON PLU=ON L20 AND L21 AND L22 AND L23 AND L24  
AND L25 AND L26 AND L27 AND L28 AND L29 AND L30

FILE 'HCAPLUS' ENTERED AT 17:54:37 ON 20 AUG 2007

D QUE NOS L31  
D IBIB ED ABS L31

FILE 'REGISTRY' ENTERED AT 17:55:14 ON 20 AUG 2007

D STAT QUE L7

FILE 'HCAPLUS' ENTERED AT 17:55:35 ON 20 AUG 2007

D QUE NOS L8

FILE 'CASREACT' ENTERED AT 17:55:48 ON 20 AUG 2007

D QUE NOS L9

FILE 'MARPAT' ENTERED AT 17:56:07 ON 20 AUG 2007

D QUE NOS L18

FILE 'BEILSTEIN' ENTERED AT 17:57:06 ON 20 AUG 2007  
D STAT QUE L12

FILE 'HCAPLUS, MARPAT' ENTERED AT 17:57:23 ON 20 AUG 2007

L32 7 DUP REM L16 L18 (3 DUPLICATES REMOVED)  
ANSWERS '1-5' FROM FILE HCAPLUS  
ANSWERS '6-7' FROM FILE MARPAT

L33 D QUE NOS L32  
6 L32 NOT L31  
D IBIB ED ABS HITSTR 1-4  
D IBIB ABS QHIT 5-6  
D STAT QUE L7

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